

The current research work explores the potential applications of cationic self-nanoemulsifying oily formulations (CSNEOFs) for enhancing the oral bioavailability of olmesartan medoxomil. Initial preformulation studies, risk assessment and factor screening studies revealed selection of oleic acid, Tween 40 and Transcutol HP as the critical factors. Systematic optimization of SNEOFs was carried out employing D-optimal mixture design and evaluating them for responses viz. emulsification efficiency, globule size and in vitro drug release. The CSNEOFs were prepared from the optimized SNEOFs by adding oleylamine as cationic charge inducer. In vitro cell line studies revealed markedly better drug uptake along with safer and biocompatible nature of CSNEOFs than free drug suspension. In situ perfusion, and in vivo pharmacokinetic and pharmacodynamic studies in Wistar rats revealed significant improvement in the biopharmaceutical performance of the drug from CSNEOFs and SNEOFs vis-à-vis the marketed formulation. Successful establishment of various levels of in vitro/in vivo correlations (IVIVC) substantiated high degree of prognostic ability of in vitro dissolution conditions in predicting the in vivo performance. In a nutshell, the present studies report successful development of CSNEOFs of olmesartan medoxomil with distinctly improved biopharmaceutical performance.

Bergstrom, C. A. et al. (2014). "Early pharmaceutical profiling to predict oral drug absorption: Current status and unmet needs." *Eur J Pharm Sci* 57:173–199.

Preformulation measurements are used to estimate the fraction absorbed in vivo for orally administered compounds and thereby allow an early evaluation of the need for enabling formulations. As part of the Oral Biopharmaceutical Tools (OrBiTo) project, this review provides a summary of the pharmaceutical profiling methods available, with focus on in silico and in vitro models typically used to forecast active pharmaceutical ingredient's (APIs) in vivo performance after oral administration. An overview of the composition of human, animal and simulated gastrointestinal (GI) fluids is provided and state-of-the art methodologies to study API properties impacting on oral absorption are reviewed. Assays performed during early development, i.e. physicochemical characterization, dissolution profiles under physiological conditions, permeability assays and the impact of excipients on these properties are discussed in detail and future demands on pharmaceutical profiling are identified. It is expected that innovative computational and experimental methods that better describe molecular processes involved in vivo during dissolution and absorption of APIs will be developed in the OrBiTo. These methods will provide early insights into successful pathways (medicinal chemistry or formulation strategy) and are anticipated to increase the number of new APIs with good oral absorption being discovered.

Boakye, C. H. et al. (2016). "Lipid-based oral delivery systems for skin deposition of a potential chemopreventive DIM derivative: Characterization and evaluation." *Drug Deliv Transl Res* 6(5):526–539.

The objective of this study was to explore the oral route as a viable potential for the skin deposition of a novel diindolylmethane derivative (DIM-D) for chemoprevention activity. Various lipid-based oral delivery systems were optimized and compared for enhancing DIM-D's oral bioavailability and skin deposition. Preformulation studies were performed to evaluate the log P and solubility of DIM-D. Microsomal metabolism, P-glycoprotein efflux, and caco-2 monolayer permeability of DIM-D